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Applicants: Bouchard et al.
Application: 08/162,984
Filed: 12/08/93
Title: NEW TAXIODES, THEIR
PREPARATION AND
PHARMACEUTICAL
COMPOSITION CONTAINING
THEM
Accorded benefit: France
92 14813, filed 12/09/92

REDECLARATION

The primary examiner has requested that another interference be declared between the Chen et al. patent 4,254,580 and a third party, Hester et al. application, Serial No. 08/454,210. Upon review by the APJ, it is clear that the third party, Hester et al., claims the same patentable invention as that of count 1 in this interference. Further, after consulting with the primary examiner it appears that Hester et al. may have support for counts 2 and/or 3, and thus, the examiner has recommended that the Hester et al. application be added to this proceeding.

Accordingly, the APJ pursuant to 37 CFR § 1.642 will redeclare this interference to add the Hester application, Serial No. 08/454,210, to this interference. However, in order to resolve the issue of whether Hester et al. can participate as to counts 2 and/or 3, the undersigned sets forth **A 30 DAY PERIOD FOR HESTER ET AL.** to file an amendment containing claims directly to counts 2 and/or 3. If it is determined that

Hester et al. cannot or declines to present claims which define the same patentable invention(s) as that of counts 2 and/or 3, the present interference will be bifurcated with respect to the counts. In re Redeclaration of Interferences, 1926 C.D. 75. Each party must be involved on every count.

After a determination is made with respect to Hester et al. application and counts 2 and 3, an order will issue providing a restricted motion period for parties Chen et al. and Bouchard et al., limited to the bringing of such motions as could not have been previously brought, i.e., any motion which they might have filed had the Hester et al. application been part of the interference at the time preliminary motions were originally filed.

Accordingly, the Administrative Patent Judge (APJ), pursuant to 37 CFR § 1.642, redeclares Interference No. 103,675 by adding the Hester et al. application to this proceeding as follows:

Junior Party

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Application: 08/029,819, filed March 11, 1993, now Patent No. 5,254,580, granted October 19, 1993

Title: 7, 8-CYCLOPROPATAKANES

Assignee: Bristol-Myers Squibb Company

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Accorded Benefit: None

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Application: 08/454,210, filed June 9, 1995

Title: 7-HALO- AND 7BETA-METHANO-TAXOLS,
ANTINEOPLASTIC USE AND PHARMACEUTICAL
COMPOSITIONS CONTAINING THEM

Assignee: None

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Asso. Attorney: None

Accorded Benefit: 07/990,579, filed December 15, 1992; 08/122,974,
filed September 17, 1993; 08/013,826, filed
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Application: 08/162,984, filed December 8, 1993

Title: NEW TAXOIDS, THEIR PREPARATION AND
 PHARMACEUTICAL COMPOSITION CONTAINING
 THEM

Assignee: None

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 Parker, III and Julie K. Smith

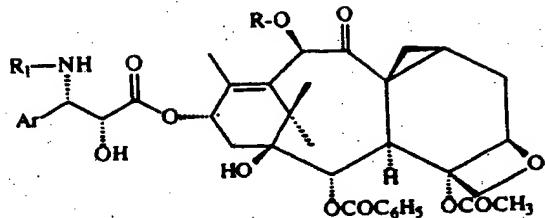
Associates: None

Accorded benefit: France 92 14813, filed December 9, 1992

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COUNT 1

[Bouchard] A taxoid of the formula:



in which

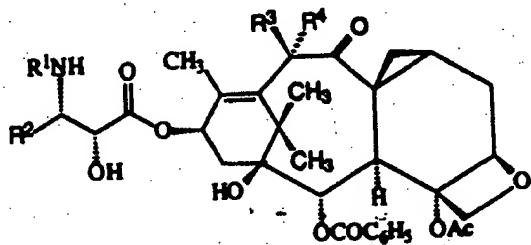
R represents hydrogen or acetyl,

R₁ represents benzoyl or R₂-O-CO- in which R₂ represents t-butyl, and

Ar represents phenyl or α- or β-naphthyl, said phenyl or naphthyl being unsubstituted or substituted by C₁₋₄ alkyl, C₁₋₄ alkoxy, halogen, or CF₃, or Ar represents 2- or 3-thienyl or 2- or 3-furyl, said thienyl or furyl being unsubstituted or substituted by halogen,

OR

[Chen] A compound of the formula



in which

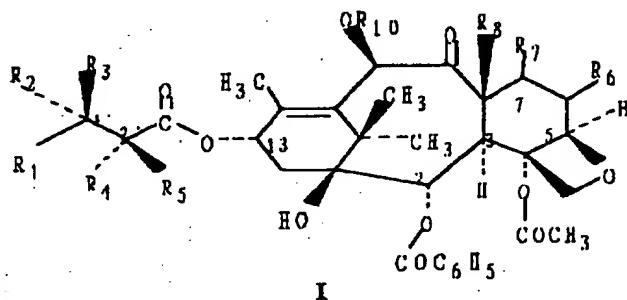
R¹ or -COR² in which R² is t-butyloxy, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, or phenyl, optionally substituted with one to three same or different C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen or -CF₃ groups;

R² is C₁₋₆ alkyl, C₁₋₆ alkenyl, C₂₋₆ alkynyl, C₃₋₆ cycloalkyl, or a radical of the formula -W-R^x in which W is a bond, C₂₋₆ alkenediyl, or -(CH₂)_t-, in which t is one to six; and R^x is naphthyl, furyl, thienyl or phenyl, and furthermore R^x can be optionally substituted with one to three same or different C₁₋₆ alkyl, C₁₋₆ alkoxy, halogen or -CF₃ groups; and

R³ is OCOR, -OCOOR, H, OH; R⁴ is hydrogen; or R³ and R⁴ jointly form a carbonyl group; and R is C₁₋₆ alkyl.

OR

[Hester] A compound of the Formula I:



wherein:

R₁ is selected from the group consisting of

-CH₃,

-C₆H₅ or phenyl substituted with one, 2 or 3 C_{1-C₄} alkyl, C_{1-C₃} alkoxy, halo, C_{1-C₃} alkylthio, trifluoromethyl, C_{2-C₆} dialkylamino, hydroxy or nitro, and

-2-furyl, 2-thienyl, 1-naphthyl, 2-naphthyl or 3,4-methylenedioxyphenyl;

R_2 is selected from the group consisting of -H, -NHC(O)H, -NHC(O)C₁-C₁₀alkyl, -NHC(O)phenyl, -NHC(O)phenyl substituted with one, 2 or 3 C₁-C₄ alkyl, C₁-C₃ alkoxy, halo, C₁-C₃ alkylthio, trifluoromethyl, C₂-C₆ dialkylamino, hydroxy or nitro, -NHC(O)C(CH₃)=CHCH₃, -NHC(O)OC(CH₃)₃, -NHC(O)OCH₂phenyl, -NH₂, -NHSO₂-4-methylphenyl, -NHC(O)(CH₂)₃COOH, -NHC(O)-4-(SO₃H)phenyl, -OH, -NHC(O)-1-adamantyl, -NHC(O)O-3-tetrahydrofuryl, -NHC(O)O-4-tetrahydropyranyl -NHC(O)CH₂C(CH₃)₃, -NHC(O)C(CH₃)₃, -NHC(O)OC₁-C₁₀alkyl, -NHC(O)NHC₁-C₁₀alkyl, -NHC(O)NPh, -NHC(O)NPh substituted with one, 2 or 3 C₁-C₄ alkyl, C₁-C₃ alkoxy, halo, C₁-C₃ alkylthio, trifluoromethyl, C₂-C₆ dialkylamino, or nitro, -NHC(O)C₃-C₈cycloalkyl, -NHC(O)C(CH₂CH₃)₂CH₃, -NHC(O)C(CH₃)₂CH₂Cl, -NHC(O)C(CH₃)₂CH₂CH₃, phthalimido, -NHC(O)-1-phenyl-1-cyclopentyl, -NHC(O)-1-methyl-1-cyclohexyl, -NHC(S)NHC(CH₃)₃, -NHC(O)NHC(CH₃)₃ and -NHC(O)NPh;

R_3 is selected from the group consisting of -H, NHC(O)phenyl and -NHC(O)OC(CH₃)₃, with the overall proviso that one of R_2 and R_3 is -H but R_2 and R_3 are not both -H;

R_4 is -H or selected from the group consisting of -OH, -OAc(-OC(O)CH₃), -OC(O)OCH₂C(Cl)₃, -OCOCH₂CH₂NH₃⁺ HCOO⁻, -NHC(O)phenyl, -NHC(O)OC(CH₃)₃, -OCOCH₂-CH₂COOH and pharmaceutically acceptable salts thereof, -OCO(CH₂)₃COOH and pharmaceutically acceptable salts thereof, and -OC(O)-Z-C(O)-R' {where Z is ethylene (-CH₂CH₂-), propylene (-CH₂CH₂CH₂-), -CH=CH-, 1,2-cyclohexane or 1,2-phenylene, R' is -OH, -OH base, -NR₂'R₃', -OR₃', -SR₃', -OCH₂C(O)NR₄'R₅' where R₂' is -H or -CH₃, R₃', R₃' is (CH₂)_nNR₆'R₇' or (CH₂)_nN⁺R₆'R₇'X' where n is 1-3, R₄' is -H or C₁-C₄alkyl, R₅' is -H, -C₁-C₄alkyl, benzyl, hydroxyethyl, -CH₂CO₂H or dimethylaminoethyl, R₆' and R₇' are -CH₃, -CH₂CH₃, benzyl or R₆' and R₇' together with the nitrogen of NR₆'R₇' form a pyrrolidino, piperidino, morpholino, or N-methylpiperizino group; R₈' is -CH₃, -CH₂CH₃ or benzyl, X' is halide, and base is NH₃, (HOC₂H₄)₃N, N(CH₃)₃, CH₃N(C₂H₄)₂NH, NH₂(CH₂)₆NH₂, N-methylglucamine, NaOH or KOH}, -OC(O)(CH₂)_nNR²R³ {where n is 1-3, R² is -H or -C₁-C₃alkyl and R³ is -H or C₁-C₃alkyl}, -OC(O)CH(R")NH₂ {where R" is selected from the group consisting of -H, -CH₃, -CH₂CH(CH₃)₂, -CH(CH₃)CH₂CH₃, -CH(CH₃)₂, -CH₂phenyl, -(CH₂)₄NH₂, -CH₂CH₂COOH, -(CH₂)₃NHC(=NH)NH₂}, the residue of the amino acid proline, -OC(O)CH=CH₂, -C(O)CH₂CH₂C(O)NHCH₂CH₂SO₃⁻Y⁺, -OC(O)CH₂CH₂C(O)NHCH₂CH₂SO₃⁻Y⁺ wherein Y⁺ is Na⁺ or N^{+(Bu)₄}, and -OC(O)CH₂CH₂C(O)OCH₂CH₂OH;

R_5 is -H or -OH, with the overall proviso that when R_5 is -OH, R_4 is -H and with the further proviso that when R_5 is -H, R_4 is other than H;

R_6 is -H:-H when R_7 is α - R_{71} , β - R_{72} where one of R_{71} and R_{72} is -H and the other of R_{71} and R_{72} is -X where X is halo and R_8 is -CH₃; or

R_6 is -H:-H when R_7 is α -H: β - R_{74} where R_{74} and R_8 are taken together to form a cyclopropyl ring; and

R_{10} is -H or -C(O)CH₃; or

the pharmaceutically acceptable salt thereof when the compound contains either an acidic or basic functional group;

with the overall proviso that when R_{71} or R_{72} is fluoro, then R_2 is other than -NHC(O)phenyl or -NHC(O)C(CH₃)₃.

The claims of the parties corresponding to the count are:

Chen et al.: claims 1-6, 8 and 9

Hester et al.: claims 2, 3, 7, 19, 20, 34-37, 39-42 , 44 and 45.

Bouchard et al: claim 140

Count 2

4 α -10 β -diacetoxy-2 α -benzoyloxy-5 β ,20-epoxy-1 β -hydroxy-7 β , 8 β -methylene-9-oxo-19-nor-11-taxen-13 α -yl(2R,3S)-3-tert-butoxycarbonylamino-2-hydroxy-3-phenylpropionate

OR

N-debenzoyl-N-t-butoxycarbonyl-7-deoxy-8-desmethyl-7,8-cyclopropataxol.

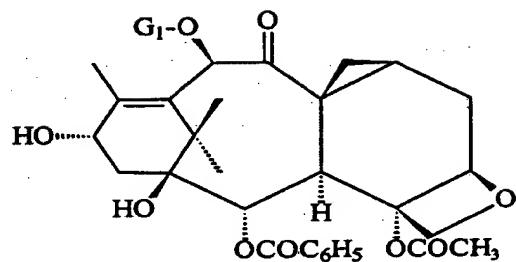
The claims of the parties corresponding to the count are:

Chen et al.: claims 7-9

Bouchard et al.: claim 142

Count 3A

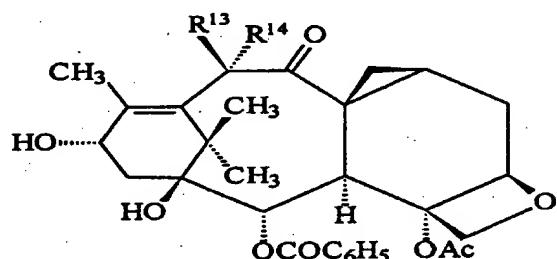
A taxoid of the formula:



in which G_1 represents hydrogen or acetyl,

OR

A compound of the formula:



In which R^{13} is hydrogen, acetoxy or hydroxy; R^{14} is hydrogen; or R^{13} and R^{14} jointly form a carbonyl group.

Serial No. 08/162,984

The claims of the parties corresponding to the count are:

Chen et al.: claims 10, 11

Bouchard et al. claim 141

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